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Search Report

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=> file reg

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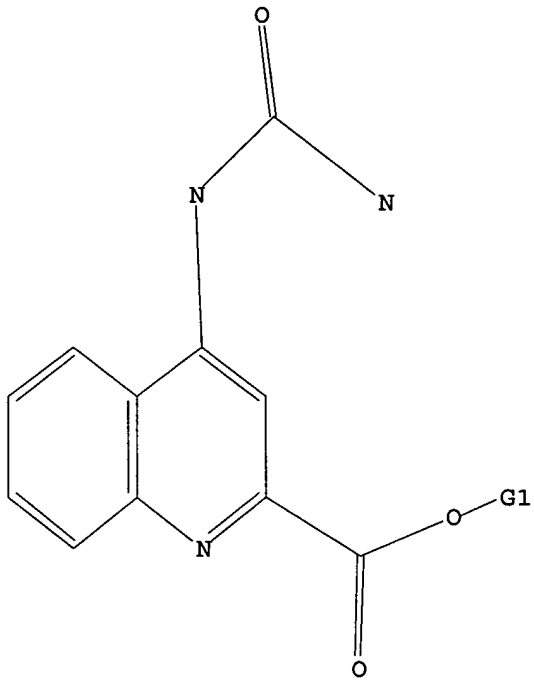

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Structure attributes must be viewed using STN Express query preparation.

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L4 6 L3

=> d ibib abs fhitstr 1-6

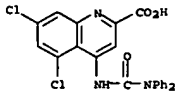
L4 ANSWER 1 OF 6 CA COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 139:127822 CA
TITLE: Inhibition of Neuronal Na+ Channels by the Novel Antiepileptic Compound DCUKA: Identification of the Diphenylureido Moiety as an Inactivation Modifier
AUTHOR(S): Wang, Ze-Jun; Snell, Lawrence D.; Tabakoff, Boris; Levinson, Simon R.
CORPORATE SOURCE: Department of Physiology and Biophysics, Program in Neuroscience, University of Colorado Health Sciences Center, Denver, CO, 80262, USA
SOURCE: Experimental Neurology (2002), 178(1), 129-138
CODEN: EXNEAC; ISSN: 0014-4886
PUBLISHER: Elsevier Science
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In a previous anal. of existing antiseizure compds., we suggested that a common diphenylureido moiety was responsible for the activity-dependent, Na+ channel blocking actions of these drugs (L. D. Snell et al., 2000). Thus, the novel diphenylureido compound [N,N-(diphenyl)-4-ureido-5,7-dichloro-2-carboxyquinoline] DCUKA was developed to incorporate the diphenylureido pharmacophore into a structure that also acted as an NMDA receptor antagonist. DCUKA has previously been shown to have antiepileptic properties in animals, and in the present study the actions of DCUKA on Na+ currents were characterized using transfected cells that stably expressed the rat brain Nav1.2 channel isoform. In whole-cell voltage-clamp recordings, DCUKA reduced Na+ currents in a dose- and membrane potential-dependent fashion, with an apparent 1:1 stoichiometry of drug:channel interaction. Characterization of the effects of DCUKA on Na+ channel function strongly suggested that DCUKA acts by enhancing Na+ channel inactivation. Thus, in the presence of DCUKA, Nav1.2 channels showed reduced availability in steady-state inactivation protocols, displayed use-dependent inhibition, and were slower to recover from inactivation than untreated channels, while DCUKA showed no significant interaction with the open state of the channel. As previously postulated for the anticonvulsants carbamazepine and phenytoin, these results could be well explained by a model in which the drug preferentially interacts with the fast inactivated state of the channel. Finally, DCUKA was generally more efficacious than carbamazepine in modifying sodium channel behavior. Thus, the diphenylureido moiety identified by a structural anal. of classic anticonvulsants appears to be important to the inactivation-specific Na+ channel inhibition by this class of antiseizure agents.

IT **210692-58-3**
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); BIOL (Biological study)
(diphenylureidodichlorocarboxyquinoline inhibition of neuronal sodium channels with diphenylureido moiety as inactivation modifier)
RN 210692-58-3 CA
CN 2-Quinolinecarboxylic acid, 5,7-dichloro-4-[[(diphenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 6 CA COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 CA COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 134:340357 CA
TITLE: Novel compounds, specifically aromatic and heteroaromatic ureas and thioureas, useful against parasites and especially against coccidiosis.
INVENTOR(S): Muzi, Sabrina; Abdul-Rahman, Shoaa
PATENT ASSIGNEE(S): New Pharma Research Sweden AB, Swed.
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030749	A1	20010503	WO 2000-SE2091	20001027
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1224165	A1	20020724	EP 2000-973336	20001027
EP 1224165	B1	20051214		
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AT 312815	E	20051215	AT 2000-973336	20001027
EP 1210950	A1	20020605	EP 2000-850205	20001204
EP 1210950	B1	20051019		
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AT 306940	E	20051115	AT 2000-850205	20001204
WO 2002045751	A1	20020613	WO 2001-SE2654	20011130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002024308	A5	20020618	AU 2002-24308	20011130
US 6875764	B1	20050405	US 2002-111376	20020607

PRIORITY APPLN. INFO.:
SE 1999-3894 A 19991028
WO 2000-SE2091 W 20001027
EP 2000-850205 A 20001204
WO 2001-SE2654 W 20011130

OTHER SOURCE(S): MARPAT 134:340357
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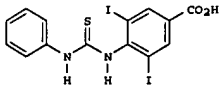
L4 ANSWER 2 OF 6 CA COPYRIGHT 2006 ACS on STN (Continued)

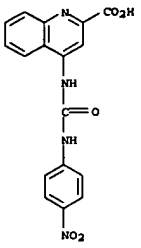


II

AB The invention relates to novel ureas and thioureas R-C(:Y)-R [I; Y = O or S; R's are selected from the pairings: (a) NHR1 and NHR2, or (b) NR3R4 and NR5R6, or (c) NR3R4 and cyclic radical -N:Z-R7; R1, R2 = certain (un)substituted aryl, aralkyl, alkyl, heteroaryl, etc.; R3-R6 = certain (un)substituted aryl, aralkyl, or alkyl groups; Z = atoms to form ring; R7 = electron-withdrawing substituent] and their tautomers, solvates, radiolabeled derivs., and pharmaceutically acceptable salts. Also disclosed are pharmaceutical compns. containing I, as well as a method for treatment of parasitic disorders using I. I are especially well-suited for treatment of coccidiosis, particularly in poultry. Over 200 compds. are listed, and several synthetic examples are given. For instance, reaction of PhNCS with 4-amino-3,5-diiodobenzoic acid in refluxing acetone in the presence of aqueous 10% KOH gave 75% thiourea derivative II. This compound had an anticoccidial effect in chickens similar to coxistac, but with a shorter duration of infection, reduced feed consumption, and no loss of growth rate.

IT **337531-65-4P**, 4-[[(4-Nitroanilino)carbonyl]amino]-2-quinolinecarboxylic acid
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(parasiticide candidate; preparation of aromatic and heteroarom. ureas and thioureas as antiparasitic and anticoccidial agents)
RN 337531-65-4 CA
CN 2-Quinolinecarboxylic acid, 4-[[[(4-nitrophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 6 CA COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
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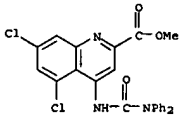
L4 ANSWER 3 OF 6 CA COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
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L4 ANSWER 3 OF 6 CA COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 132:175335 CA
TITLE: Novel structure having antagonist actions at both the glycine site of the N-methyl-D-aspartate receptor and neuronal voltage-sensitive sodium channels: biochemical, electrophysiological, and behavioral characterization
AUTHOR(S): Snell, Lawrence D.; Claffey, David J.; Ruth, James A.; Valenzuela, C. Fernando; Cardoso, Rita; Wang, Zejun; Levinson, Simon R.; Sather, William A.; Williamson, Anna V.; Ingersoll, Nan C.; Ovchinnikova, Larissa; Bhave, Sanjiv V.; Hoffman, Paula L.; Tabakoff, Boris
CORPORATE SOURCE: Lohocla Research Corporation, Denver, CO, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2000), 292(1), 215-227
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A novel series of N-substituted 4-ureido-5,7-dichloro-quinolines were synthesized to contain pharmacophores directed at voltage-sensitive sodium channels (VSNaCs) and N-methyl-D-aspartate (NMDA) receptors. These compds. were shown to act in a use-dependent manner as antagonists of VSNaCs and to act as selective competitive antagonists at the strychnine-insensitive glycine recognition site of NMDA receptors. These agents had little or no effect on α-adrenergic receptors, other glutamate receptors, or sites other than the glycine site on the NMDA receptor, and did not block voltage-sensitive calcium channels in vitro. In vivo, the compds. were active in preventing or reducing the signs and symptoms of neurohyperexcitability and had anxiolytic properties. Unlike benzodiazepines, N-substituted 4-ureido-5,7-dichloro-quinolines showed little interaction with the sedative effects of ethanol, but were effective in controlling ethanol withdrawal seizures. The combined actions of these compds. on VSNaCs and NMDA receptors also impart properties to these compds. that are important for preventing and reducing excitotoxic neurodegeneration, but these compds. lack the undesirable side effects of other agents used for these purposes.

IT **210692-60-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and evaluation of ureidodichloroquinolines as antagonists of glycine site of NMDA receptor and neuronal voltage-sensitive Na+ channels)

RN 210692-60-7 CA
CN 2-Quinolinecarboxylic acid, 5,7-dichloro-4-[[(diphenylamino)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

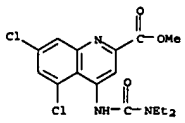
L4 ANSWER 4 OF 6 CA COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 131:193722 CA
TITLE: Anticonvulsant activity of 4-urea-5,7-dichlorokynurenic acid derivatives that are antagonists at the NMDA-associated glycine binding site
AUTHOR(S): Nichols, Alfred C.; Yielding, K. Lemone
CORPORATE SOURCE: Department of Chemistry, University of North Alabama, Florence, AL, 35632, USA
SOURCE: Molecular and Chemical Neuropathology (1999), Volume Date 1998, 35(1-3), 1-12
CODEN: MCHNEM; ISSN: 1044-7393
PUBLISHER: Humana Press Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Twelve 4-urea-5,7-dichlorokynurenic acid derivs. were synthesized by reacting the 4-tosylimino-derivative of 5,7-dichlorokynurenate Me ester first with triphosgene and then with a secondary amine. Compds. were screened in mice for anticonvulsant activity using maximal electroshock (MES), s.c. pentylenetetrazole (Met), and threshold tonic extension (TTE) tests. A rotorod test was used to determine neurotoxicity. Seven of the derivs. had anticonvulsant activity in TTE testing at 100 mg/kg. One compound, 2-methylcarboxylate-5,7-dichloro-4-([{diphenylamino}carbonyl]amino)quinoline, had an ED50 value of 134 mg/kg (95% confidence interval: low-78.5, high-205.7; slope 1.9, SE = 0.44) in TTE testing. Two derivs. had MES activity. Only one compound, an N,N-diethylamino derivative, was neurotoxic in the rotorod test. Compds. were screened at a 10-μM concentration for activity in displacing 5,7-dichlorokynurenic acid from synaptosomal membrane fragments. Since 9 of the 12 compds. tested have demonstrated anticonvulsant activity, this class of chems. offers promise for the production of useful therapeutic agents.

IT **210692-49-2**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anticonvulsant activity of 4-urea-5,7-dichlorokynurenic acid derivs. that are antagonists at the NMDA-associated glycine binding site)

RN 210692-49-2 CA
CN 2-Quinolinecarboxylic acid, 5,7-dichloro-4-[[(diethylamino)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 4 OF 6 CA COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE
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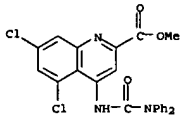
Bad Data

L4 ANSWER 5 OF 6 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 130:47492 CA
TITLE: Quinoline compounds, compositions and method suitable for amelioration of withdrawal syndromes and withdrawal-induced brain damage
INVENTOR(S): Tabakoff, Boris; Snell, Lawrence; Hoffman, Paula L.
PATENT ASSIGNEE(S): Lohocla Research Corp., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9855125	A1	19981210	WO 1998-US11312	19980605
W: AU, CA, JP, MX, RU, US, AM, AZ, BY, KG, KZ, MD, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9878088	A1	19981221	AU 1998-78088	19980605
EP 1011676	A1	20000628	EP 1998-926193	19980605
EP 1011676	B1	20050831		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 303148	E	20050915	AT 1998-926193	19980605
US 6962930	B1	20051108	US 1998-171697	19981023
PRIORITY APPLN. INFO.:			US 1997-48848P	P 19970606
			WO 1998-US11312	W 19980605

OTHER SOURCE(S): MARPAT 130:47492
AB Quinoline compds., compns. and methods for ameliorating alc. or drug dependency withdrawal syndromes and withdrawal-induced brain damage are disclosed. In particular, a series of N-substituted-4-ureido-5,7-dihalo-2-carboxy quinoline compds. are disclosed having combined properties as antagonists of voltage-sensitive sodium channels (VSNaC) and as selective competitive antagonists at the strychnine-intensive glycine site of N-methyl-D-aspartate (NMDA) receptors. The disclosed compds. prevent or reduce the signs and symptoms of neurohyperexcitability and particularly the neurohyperexcitability associated with withdrawal syndrome manifested by patients upon withdrawal from chronic use of dependence inducing agents (e.g, ethanol, barbiturates, opiates etc.). The combined actions of the disclosed compds. on VSNaC and NMDA receptors also impart properties to these compds. that are important in preventing and reducing excitotoxic neurodegeneration and reducing anxiety without the undesirable CNS depressant side-effects of agents hitherto employed for these purposes.
IT 210692-60-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(quinoline compds. for amelioration of alc. and drug withdrawal

L4 ANSWER 5 OF 6 CA COPYRIGHT 2006 ACS on STN (Continued)
syndromes and withdrawal-induced brain damage)
RN 210692-60-7 CA
CN 2-Quinolinecarboxylic acid, 5,7-dichloro-4-[[(diphenylamino)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
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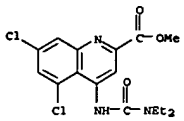
Current Case

L4 ANSWER 6 OF 6 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 129:131259 CA
TITLE: 4-Urea-5,7-dichlorokynurenic acid derivative anticonvulsants, and preparation thereof
INVENTOR(S): Nichols, Alfred C.; Yielding, K. Lemone
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5783700	A	19980721	US 1997-887627	19970703
US 5914403	A	19990622	US 1998-103963	19980624
PRIORITY APPLN. INFO.:			US 1997-887627	A3 19970703

OTHER SOURCE(S): MARPAT 129:131259
AB Coupled to the N-methyl-D-aspartate (NMDA) receptor complex is a strychnine-insensitive binding site for glycine. Pharmacol. antagonism of glycine at this site may produce anticonvulsant activity. Twelve 4-urea-5,7-dichlorokynurenic acid derivs. were synthesized and subsequently screened in mice for anticonvulsant activity using MES, Met, and TTE tests, and a rotorod test was used to determine neurotoxicity. Seven of the derivs. had anticonvulsant activity in TTE testing at 100 mg/kg. One derivative had an ED50 value of 134 mg/kg in TTE testing. Two derivs. had MES activity. Only one derivative was neurotoxic in the rotorod test. Compds. were screened at a 10 uM concentration for activity in displacing 5,7-dichlorokynurenic acid from synaptosomal membrane fragments. Nine of the twelve compds. synthesized and tested have demonstrated anticonvulsant activity. Thus, compds. of the present invention should be usable for the treatment of epilepsy, neurodegenerative diseases, and other syndromes involving inhibition or excessive stimulation of the NMDA receptor complex.
IT 210692-49-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(urea-dichlorokynurenate derivative anticonvulsants, and preparation thereof)
RN 210692-49-2 CA
CN 2-Quinolinecarboxylic acid, 5,7-dichloro-4-[[(diethylamino)carbonyl]amino]- , methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 6 CA COPYRIGHT 2006 ACS on STN (Continued)



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L7            0 L3

=> file marpat

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L8           33 SEA SSS FUL L1

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L8 ANSWER 1 OF 33 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 143:211934 MARPAT
TITLE: Preparation of 4-heteroaryloxy-6-piperazinopyrimidines as vanilloid receptor ligands
INVENTOR(S): Wang, Hui-ling; Balan, Chenera; Doherty, Elizabeth M.; Falsey, James R.; Gore, Vijay Keshav; Katon, Jodie; Norman, Mark H.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 46 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005176726	A1	20050811	US 2005-56568	20050211
WO 2005077944	A1	20050825	WO 2005-US4378	20050211

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PRIORITY APPLN. INFO.: US 2004-543896P 20040211
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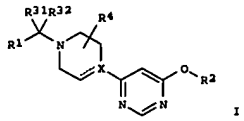
L8 ANSWER 1 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



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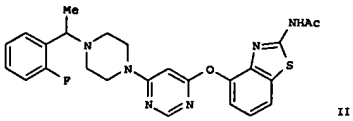
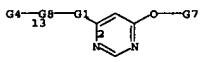


II

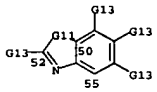
AB The title compds. I [X = N, C; R1 = (un)substituted (un)saturated 5-7 membered ring containing 1-4 atoms selected from N, O and S; R2 = (un)substituted partially saturated or unsatd. 8-11 membered bicyclic ring containing 1-4 atoms selected from N, O and S; R31, R32 = H, Me, Et; or R31 and R32 together may be combined with the carbon atom to which they attached to form cyclopropyl; R4 = H, Me], useful for the treatment of acute, inflammatory and neuropathic pain, dental pain, general headache, migraine, cluster headache, mixed-vascular and non-vascular syndromes, tension headache, general inflammation, arthritis, rheumatic diseases, osteoarthritis, inflammatory bowel disorders, inflammatory eye disorders, inflammatory or unstable bladder disorders, psoriasis, skin complaints with inflammatory components, chronic inflammatory conditions, inflammatory pain and associated hyperalgesia and allodynia, neuropathic pain and associated hyperalgesia and allodynia, diabetic neuropathy pain, causalgia, sympathetically maintained pain, deafferentation syndromes, asthma, epithelial tissue damage or dysfunction, herpes simplex, disturbances of visceral motility at respiratory, genitourinary, gastrointestinal or vascular regions, wounds, burns, allergic skin reactions, pruritus, vitiligo, general gastrointestinal disorders, etc., were prepared E.g., a multi-step synthesis of II, starting from 4,6-dichloropyrimidine and 2-aminobenzothiazol-4-ol, was given. Compds. I were tested to evaluate their properties at human VR1 (data given for representative compds. I). The pharmaceutical composition comprising the compound I is disclosed.

MSTR 1

L8 ANSWER 1 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G7 = 55



G11 = 59-52 60-50

G12 = 61

G13 = 535

G18 = carbon chain <containing 1-6 C, 0-2 double bonds, 0-2 triple bonds> (opt. substd.)
G23 = O
G24 = NH2
G25 = NH
G32 = 162

Patent location: claim 1
Note: or pharmaceutically acceptable salts or hydrates
Note: substitution is restricted

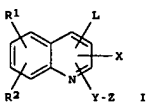
L8 ANSWER 2 OF 33 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 142:463617 MARPAT
TITLE: Preparation of quinoline derivatives as selectin inhibitors
INVENTOR(S): Kaila, Neelu; Debernardo, Silvano L.; Janz, Kristin M.; Camphausen, Raymond T.; Bedard, Patricia W.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 26 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005101568	A1	20050512	US 2004-984093	20041109
WO 2005047257	A2	20050526	WO 2004-US37334	20041109
WO 2005047257	A3	20050707		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-518950P 20031110
GI

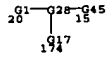


I

AB The title compds. (I) [L = CO2H, its ester, or a pharmaceutically acceptable acid mimetic; Y = O, (CR3R4)p, NR5; p = 1-3; X = H, OH, OR3, OC1-6 alkyl, OC(:O)aryl, OC(:O)C1-6 alkyl, OC(:O)OC1-6 alkyl, or NR3R3'; each R1, R2, R3, R3', R4 = H, halogen, cyano, OH, SH, (CH2)nSO3H, (CH2)nSO3H, (CH2)nCO2R6, OSO3R6, SO2R6, SO3R6, PO3R6R7, (CH2)nSO2NR8R9, (CH2)nC(:O)NR8R9, NR8R9, C(:O)R12, NHCOR8, each (un)substituted C1-6 alkyl, C1-6 perhaloalkyl, OC1-6alkyl, OC1-6 perhaloalkyl, thioalkyl, , aryl, heterocyclo, C(:O)aryl, C(:O)heterocyclo, OC(:O)aryl, OC(:O)heterocyclo, Oaryl, Oheterocyclo, arylalkyl, C(:O)arylalkyl, or OC(:O)arylalkyl, etc.; R6, R7 = H, (un)substituted C1-6 alkyl; R5, R8, R9 = H, OH, (CH2)lOSO3H, (CH2)lSO3R10, (CH2)nCO2R10, SO3R10, PO3R10R11, (CH2)nSO2(CH2)nNR10R11, (CH2)nCONR10R11, COR10, each (un)substituted C1-6 alkyl, C1-6haloalkyl, thioalkyl, aryl, heterocyclo, C(:O)aryl, C(:O)heterocyclo, O-C(:O)aryl, O-C(:O)heterocyclo, Oaryl, or Oheterocyclo, etc.; n = 0-6; l = 1-6; R10, R11 = H, (un)substituted C1-6 alkyl; R12 = H,

L8 ANSWER 2 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
OH, (CH2)lOSO3H, (CH2)lSO3H, (CH2)lCO2R6, (CH2)lSO2NR8R9, (CH2)nC(:O)NR8R9, NR8R9, NHCOR8, each (un)substituted C1-6 alkyl, C1-6 perhaloalkyl, OC1-6 alkyl, or OC1-6 perhaloalkyl, etc.; Z = each (un)substituted aryl, arylalkyl, heteroaryl, or heterocyclol are prepd. The present invention relates to the field of anti-inflammatory substances, and more particularly to novel compds. that act as antagonists of the mammalian adhesion proteins known as selectins. A method of inhibiting selectin-mediated intracellular adhesion assocd. with a disease, disorder, condition or undesired process is provided which include administration of the compd. I. The selectin-mediated disease, disorder, condition or undesired process includes inflammation, infection, metastasis, an undesired immunol. process, and an undesired thrombotic process. Thus, 6,7-dimethyl-1H-indole-2,3-dione was added to 6 N aq. NaOH at 100-102° and stirred to give a clear, yellow soln. which was treated dropwsie with a soln. of acetic acid 3-(4-chlorophenyl)-2-oxopropyl ester in luke warm EtOH over 1.5 h while stirring and heating at 100-102°, and the reaction mixt. was gently refluxed for another 1.5 h to give, after workup, 51.2% 2-(4-chlorobenzyl)-3-hydroxy-7,8-dimethylquinoline-4-carboxylic acid. The 12 compds. I showed IC50 of 125-1,000 μM for inhibiting the binding of P-LE to human P-selectin glycoprotein ligand-1 (PSGL-1).

MSTR 1

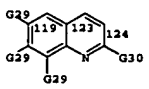


G5 = 477

G14 = 418

G28 = 119-20 123-15 124-174

L8 ANSWER 2 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G30 = CO2H (opt. substd.)
G35 = NH2
Patent location: claim 1
Note: or pharmaceutically acceptable acid mimetics

L8 ANSWER 3 OF 33 MARPAT COPYRIGHT 2006 ACS on STN

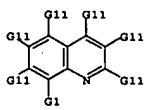
ACCESSION NUMBER: 142:331863 MARPAT
TITLE: Crystal structure of human PIM-1 kinase and use of structural information for preparation of molecular scaffolds for kinase ligand development and pharmaceutical applications
INVENTOR(S): Artis, Dean R.; Bremer, Ryan E.; Gillette, Samuel J.; Hurt, Clarence R.; Ibrahim, Prabham L.; Zuckerman, Rebecca L.
PATENT ASSIGNEE(S): Plexxikon, Inc., USA
SOURCE: PCT Int. Appl., 236 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005028624	A2	20050331	WO 2004-US30360	20040915
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005164300	A1	20050728	US 2004-941635	20040915

PRIORITY APPLN. INFO.: US 2003-503277P 20030915

AB Mol. scaffolds for compds. active on protein kinases are described, along with methods for using such scaffolds for kinase ligand development. The use of kinase structural information, exemplified with PIM-1 crystals and structural information can be used for identifying mol. scaffolds and for developing ligands that bind to and modulate particular kinases. More specifically, crystal structure and mol. structural coordinates of human PIM-1 kinase are disclosed. Preparation of compds. modulating PIM-1 and other protein kinases activity (i.e., kinase scaffold library) is reported. These compds. can be used for the treatment of diseases, such as cancer and inflammation.

MSTR 7



G3 = O
G4 = OH / NH2 (opt. substd.)
G10 = 35

L8 ANSWER 3 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G11 = 51

G12 = NH
Patent location: claim 1
Note: additional substitution also claimed
Note: substitution is restricted

L8 ANSWER 4 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:19250 MARPAT
TITLE: Crystal structure of coagulation factor XIa-inhibitor complexes yield a pharmacophore structure useful for the design of compounds for treatment of thrombosis
INVENTOR(S): Abdel-Meguid, Sherin S.; Babine, Robert E.; Deng, Hongfeng; Jin, Lei; Lin, Jian; Magee, Scott R.; Meyers, Harold V.; Pandey, Pramod; Rynkiewicz, Michael J.; Weaver, David T.
PATENT ASSIGNEE(S): Suntory Pharmaceutical Research Laboratories Llc, USA
SOURCE: PCT Int. Appl., 925 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

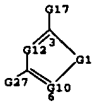
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103270	A2	20041202	WO 2004-US10349	20040402
WO 2004103270	A3	20050512		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005143317	A1	20050630	US 2004-817248	20040402

PRIORITY APPLN. INFO.: US 2003-459910P 20030402

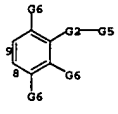
AB The present invention provides compds. that inhibit blood coagulation factor XIa and methods of preventing or treating undesired thrombosis by administering a compound of the invention to a mammal. To facilitate the identification and/or design of high affinity inhibitors for factor XIa, several three-dimensional structures of the human factor XIa catalytic domain (XIcat) bound to a ligand were determined by x-ray diffraction crystallog. A series of amino acid substitution mutants that alter the ability of recombinant human factor XI to be glycosylated in the host and to improve crystallization are also provided. These structures are used to homol. model the structure of other candidate inhibitors with XIcat. In addition, the methods described for the crystallization and structural determination of complexes of XIcat with a ligand are used to exptl. determine the structure of other ligands bound to XIcat. This structural information is used to identify functional groups within a ligand that can be modified to increase the affinity and selectivity of the ligand for factor XIa or to identify functional groups within the ligand that can be modified to increase the bioavailability of the ligand without adversely affecting its affinity for

L8 ANSWER 4 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
factor XIa. In addn. to providing compds. designed based on the structure of XIcat, the present invention includes a class of peptidomimetics and non-peptides that inhibit the activity of factor XIa, and thus useful for treating or preventing diseases for which inhibition of factor XIa is desirable.

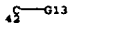
MSTR 2



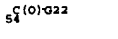
G1 = 9-3 8-6



G10 = N
G12 = 42



G18 = NH
G20 = 54



G22 = NH2
G27 = CO2H
Patent location: claim 37
Note: or pharmaceutically acceptable salts or prodrugs
Note: substitution is restricted

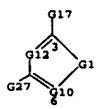
L8 ANSWER 5 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:360682 MARPAT
TITLE: Blood coagulation factor XI inhibitors and methods for treatment of thrombosis
INVENTOR(S): Abdel-Meguid, Sherin S.; Babine, Robert E.; Deng, Hongfeng; Jin, Lei; Lin, Jian; Magee, Scott R.; Meyers, Harold V.; Pandey, Pramod; Rynkiewicz, Michael J.; Weaver, David T.
PATENT ASSIGNEE(S): Suntory Pharmaceutical Research Laboratories, LLC, USA
SOURCE: PCT Int. Appl., 251 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089297	A2	20041021	WO 2004-US10300	20040402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005143317	A1	20050630	US 2004-817248	20040402

PRIORITY APPLN. INFO.: US 2003-459910P 20030402

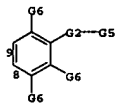
AB The present invention provides compds. AX(R3)CH(R2)CONHCH(R1)[(C:O)]mR0 [R1 = alkyl-ω-NH2, (substituted)one- or two-ring heterocycle, etc.; R0,R2,R3 = (substituted)C1-6-alkyl, etc.; X = C, N; A = α-amino-substituted AA2; AA2 = peptide chain of 1-5 α-amino acids; m = 0, 1] which inhibit Factor XIa and methods of preventing or treating undesired thrombosis by administering a compound of the invention to a mammal. The invention also provides three-dimensional structures of Factor XIa and methods for designing or selecting addnl. Factor XIa inhibitors using these structures.

MSTR 2

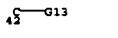


G1 = 9-3 8-6

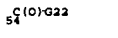
L8 ANSWER 5 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G10 = N
G12 = 42



G18 = NH
G20 = 54



G22 = NH2
G27 = CO2H
Patent location: claim 37
Note: or pharmaceutically acceptable salts or prodrugs
Note: substitution is restricted

L8 ANSWER 6 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:225539 MARPAT
TITLE: Preparation of piperazine-2-carboxamides as antagonists of prostaglandin receptors, particularly of the prostaglandin F2α receptors
INVENTOR(S): Page, Patrick; Jorand-lebrun, Catherine; Thomas, Russel J.; Schwarz, Matthias
PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth. Antilles
SOURCE: PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004071390	A2	20040826	WO 2004-EP50093	20040206
WO 2004071390	A3	20041223		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2513716	AA	20040826	CA 2004-2513716	20040206
EP 1592389	A2	20051109	EP 2004-708776	20040206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
NO 2005003991	A	20050826	NO 2005-3991	20050826
PRIORITY APPLN. INFO.:			EP 2003-3422	20030214
			WO 2004-EP50093	20040206

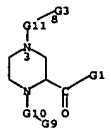
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

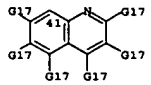
AB Title compds. I [wherein A, B = independently heterocyclo/alkylheterocyclo/cyclo/alkyl, alkyl/alkenyl/alkynyl/hetero/alk ylhetero/alkenylhetero/alkynylhetero/aryl, etc.; X = CO, SO2; Y = SO2, CO, CONH and derivs.; R1, R2 = independently H, OH, sulfonyl, NH2, alk(en/yn)yl, hetero/aryl fused with cycloalkyl, cycloalkyl fused with hetero/aryl, etc.; or R1NR2 = heterocyclyl containing an O, N, or S; their geometrical isomers, racemates, enantiomers, diastereomers, and their pharmaceutically acceptable salts and pharmaceutically acceptable active derivs.] were prepared as antagonists of prostaglandin receptors, particularly of the prostaglandin F2α receptors. For example, II was prepared, in 98.5% purity, by a solid phase synthesis from acid III,

L8 ANSWER 6 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
3,4-dichlorophenyl isocyanate, and (S)-1-aminoindane. II displayed binding affinity for human prostaglandin F2α receptors (Ki = 0.816 μM) in an in vitro competition binding assay. II inhibited human prostaglandin F2α-induced Ca2+-mobilization in HEB EBNA cells with an IC50 = 0.495 μM, demonstrating its antagonist activity. Thus, I are useful for the treatment and/or prophylaxis of preterm labor, premature birth, dysmenorrhea and for stopping labor prior to cesarean delivery.

MSTR 1



G9 = 41

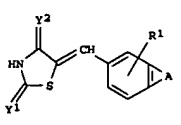


G17 = CO2H / NHCONH2 (opt. substd.)
Patent location: claim 1
Note: and pharmaceutically acceptable salts and pharmaceutically active derivatives
Note: additional ring formation also claimed
Note: also incorporates claim 20
Stereochemistry: and geometrical isomers, optically active forms, enantiomers, diastereomers and racemate forms

L8 ANSWER 7 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:128412 MARPAT
TITLE: Preparation of azolidinone-vinyl fused-benzene derivatives for therapeutic uses as PI3 kinase inhibitors
INVENTOR(S): Rueckle, Thomas; Jiang, Xuliang; Gaillard, Pascale; Church, Dennis; Vallotton, Tania
PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth. Antilles
SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007491	A1	20040122	WO 2003-EP50302	20030710
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004092561	A1	20040513	US 2002-289998	20021107
CA 2493843	AA	20040122	CA 2003-2493843	20030710
BR 2003012752	A	20050426	BR 2003-12752	20030710
BR 2003012650	A	20050503	BR 2003-12650	20030710
EP 1549644	A1	20050706	EP 2003-763907	20030710
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005538188	T2	20051215	JP 2005-505076	20030710
NO 2005000654	A	20050315	NO 2005-654	20050208
PRIORITY APPLN. INFO.:			EP 2002-100798	20020710
			US 2002-289998	20021107
			WO 2003-EP50302	20030710

GI



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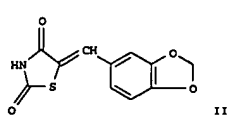
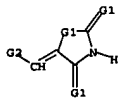


II

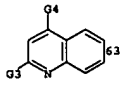
AB The present invention is related to the preparation of azolidinedione-vinyl fused-benzene derivs., such as I [R1 = H, CN, carboxy, acyl, alkoxy,

L8 ANSWER 7 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
halogen, acyloxy, etc.; A = fused heterocyclic or carbocyclic ring; Y1, Y2 = S, O, NH], and their use in pharmaceutical compns. as PI3 kinase (PI3K) inhibitors. These azolidinones are claimed for use in the treatment and/or prophylaxis of autoimmune disorders, inflammatory diseases, cardiovascular diseases, neurodegenerative diseases, bacterial or viral infections, kidney diseases, cancer, graft rejection, lung injuries, chronic obstructive pulmonary disease, anaphylactic shock, fibrosis, psoriasis, allergic diseases, asthma, stroke or ischemic conditions, ischemia-reperfusion, platelet aggregation/activation, skeletal muscle atrophy/hypertrophy, leukocyte recruitment in cancer tissue, angiogenesis, invasion metastasis in melanoma and Kaposi's sarcoma, sepsis, transplantation, pancreatitis, multi-organ failure, glomerulosclerosis, glomerulonephritis, progressive renal fibrosis, endothelial and epithelial injuries in the lung or in general lung airways inflammation. Further, these azolidinones are claimed for use in the treatment of atherosclerosis, hypertrophy, cardiac myocyte dysfunction, elevated blood pressure, vasoconstriction, Alzheimer's disease, Huntington's disease, CNS trauma, multiple sclerosis, rheumatoid arthritis, systemic lupus erythematosus, inflammatory bowel disease, thrombosis, and brain infection/inflammation such as meningitis or encephalitis. Thus, azolidinone II was prepd. via a condensation reaction of piperonal with 2,4-thiazolidinedione using β-alanine in acetic acid and stirring at 100° for 3 h. Some of the prepd. azolidinones were assayed for PI3Kγ inhibition using a high throughput PI3K lipid kinase binding assay. Tablet, capsule, liq. and injectable pharmaceutical compns. were presented.

MSTR 1



G2 = 63



G3 = CO2H
G4 = NHCONH2 (opt. substd.)
Patent location: claim 1
Note: and pharmaceutically acceptable salts and pharmaceutically active derivatives
Stereochemistry: and geometrical isomers, optically active forms as

L8 ANSWER 7 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
enantiomers, diastereomers and racemate forms

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L8 ANSWER 8 OF 33 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 140:128411 MARPAT
TITLE: Preparation of dioxothiazolylidenemethyl derivatives for increasing spermatozoa motility
INVENTOR(S): De Luca, Giampiero
PATENT ASSIGNEE(S): Applied Research Systems Ars Holding NV, Neth. Antilles
SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004006916	A1	20040122	WO 2003-EP50303	20030710
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2489779	AA	20040122	CA 2003-2489779	20030710
EP 1531813	A1	20050525	EP 2003-763908	20030710
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006500327	T2	20060105	JP 2004-520680	20030710
NO 2005000713	A	20050210	NO 2005-713	20050210
US 2005222225	A1	20051006	US 2005-519685	20050504

PRIORITY APPLN. INFO.: EP 2002-100799 20020710
EP 2002-102876 20021223
WO 2003-EP50303 20030710

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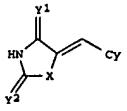

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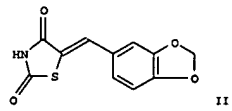
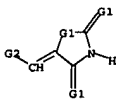


II

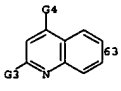
AB Title compds. I [X = S, O, NH; Y1-2 = S, O, NH; Cy = 5-8 membered, optionally fused, carbo/heterocyclic ring] are prepared For instance, thiazolidine-2,4-dione is condensed with piperonal (HOAc, β-alanine,

L8 ANSWER 8 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
3 h, 100°) to give II. Selected examples have IC50 < 1 μM for the phosphatidylinositol-3-kinase (PI3Ky) receptor. I are useful for the improvement of spermatozoa fertilization activity; in particular for the increase of spermatozoa motility. Furthermore, I are used to treat infertility and assisted reprodn. techniques (ART).

MSTR 1



G2 = 63



G3 = CO2H
G4 = NHCONH2 (opt. substd.)
Patent location: claim 1
Note: and pharmaceutically acceptable salts and pharmaceutically active derivatives
Stereochemistry: and geometrical isomers, optically active forms as enantiomers, diastereomers and racemate forms

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

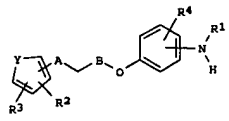
L8 ANSWER 9 OF 33 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 139:364692 MARPAT
TITLE: Preparation of substituted phenyl compounds for the treatment of non-insulin dependent diabetes mellitus
INVENTOR(S): Sabatucci, Joseph P.; Caufield, Craig E.; Greenfield, Alexander A.; Morris, Koi M.; Morrison, Eamonn P.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 21 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003203941	A1	20031030	US 2003-408912	20030408
US 6930131	B2	20050816		

PRIORITY APPLN. INFO.: US 2002-371540P 20020410

GI



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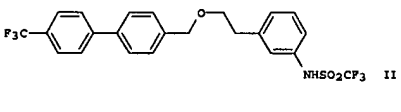
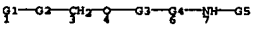


II

AB The title compds. [I; Y = O, S, N, C:C, C:N; R1 = SO2CF3, SO2Ar, SO2Me, CONH2, etc.; Ar = (un)substituted Ph, naphthyl, quinolyl; R2, R3 = H, halo, OH, etc.; R4 = H, halo, alkoxy; A = a bond, divalent group such as (un)substituted imidazole, thiazole, oxazole, etc.; B = CH2, CH2CHR5, CHR5CH2, CHR9R10; R5, R9, R10 = alkyl, F, H] that are useful in treating metabolic disorders mediated by insulin resistance or hyperglycemia, were prepared E.g., a 3-step synthesis of II (starting from 3-(2-hydroxyethyl)phenylamine and 4-bromobenzyl chloride) which showed 34% reduction [day 3 (6 h) p.o.] in plasma glucose at 5 mg/kg, was given. Pharmaceutical composition comprising the compound I is claimed.

MSTR 1



L8 ANSWER 9 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
G12 = 11-7 12-10

G13 = quinolinyl (opt. substd. by (1-2) G14)
G14 = alkoxycarbonyl <containing 1-7 C>
Patent location: claim 1
Note: or pharmaceutically acceptable salts

L8 ANSWER 10 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:350754 MARPAT
TITLE: Preparation of 2,3-diphenylquinoxaline derivatives as inhibitors of Akt activity for treating cancer
INVENTOR(S): Bilodeau, Mark T.; Duggan, Mark E.; Hartnett, John C.; Lindsley, Craig W.; Manley, Peter J.; Wu, Zhicai; Zhao, Zhijian
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 228 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086394	A1	20031023	WO 2003-US10442	20030404
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2480800	AA	20031023	CA 2003-2480800	20030404
AU 2003223467	A1	20031027	AU 2003-223467	20030404
EP 1496896	A1	20050119	EP 2003-719597	20030404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005533010	T2	20051104	JP 2003-583413	20030404
US 2005222155	A1	20051006	US 2004-510069	20041004
PRIORITY APPLN. INFO.:			US 2002-370847P	20020408
			US 2002-417174P	20021009
			WO 2003-US10442	20030404

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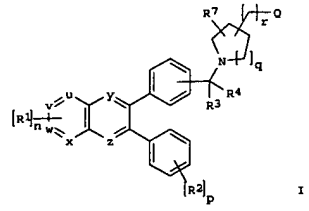
L8 ANSWER 10 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



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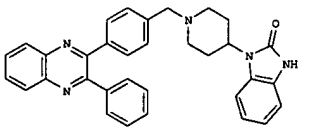
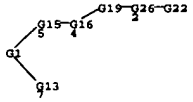


II

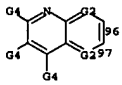
AB The title compds. comprising a 2,3-diphenylquinoxaline moiety [I; u, v, w and x = CH, N; y, z = CH, N (provided that at least one of y and z = N); Q = NR5R6, (un)substituted aryl, heterocyclyl; R1 = alkenyl, halo, CN, etc.; R2 = OH, CN, CO2H, etc.; R3, R4 = H, alkyl, perfluoroalkyl; or R3 and R4 are combined to form (CH2)t wherein one of the carbon atoms is optionally replaced by O, SOm, (un)substituted NHCO, N(COH); R5, R6 = H, aryl, heterocyclyl, etc.; or NR5R6 = monocyclic or bicyclic heterocycle; R7 = halo, CN, CO2H, etc.; n = 0-3; p = 0-2; t = 2-6; m = 0-2; q = 0-4; r = 0-1] and their salts which inhibit the activity of Akt, a serine/threonine protein kinase, were prepared E.g., a 2-step synthesis of the quinoxaline II [starting from 4-bromomethylbenzil and 4-(2-keto-1-benzimidazolinyl)piperidine], was given. The exemplified compds. I were found to have IC50 of ≤ 50 μM against one or more of Akt1, Akt2 and Akt3. The invention is further directed to chemotherapeutic compns. containing the compds. I and methods for treating cancer comprising administration of the compds. I.

MSTR 1

L8 ANSWER 10 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

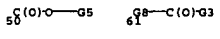


G1 = 96-5 97-7



G2 = CH
G3 = 233

G4 = 50 / 61



G5 = alkyl <containing 1-10 C> (opt. substd.)
G8 = NH (opt. substd.)
Patent location: claim 1
Note: substitution is restricted
Note: additional substitution also claimed
Stereochemistry: or stereoisomers

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:337991 MARPAT
TITLE: Preparation of N-[4-(3-phenylquinoxalin-2-yl)benzyl] substituted sulfonamides as inhibitors of Akt activity
INVENTOR(S): Lindsley, Craig W.; Zhao, Zhijian
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086403	A1	20031023	WO 2003-US10341	20030404
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2480880	AA	20031023	CA 2003-2480880	20030404
AU 2003230802	A1	20031027	AU 2003-230802	20030404
EP 1496906	A1	20050119	EP 2003-723899	20030404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005130977	A1	20050616	US 2003-510067	20030404
JP 2005530726	T2	20051013	JP 2003-583422	20030404
PRIORITY APPLN. INFO.:			US 2002-370846P	20020408
			WO 2003-US10341	20030404

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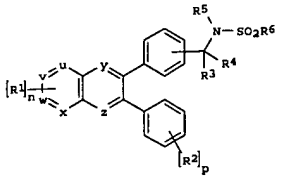
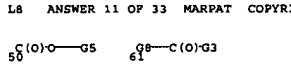


I

L8 ANSWER 11 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

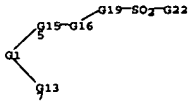


G5 = alkyl <containing 1-10 C> (opt. substd.)
G8 = NH (opt. substd.)
Patent location: claim 1
Note: substitution is restricted
Note: additional substitution also claimed
Stereochemistry: or stereoisomers

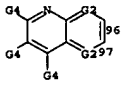
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
AB The title compds. comprising a 2,3-diphenylquinoxaline moiety [I; u, v, w and x = CH, N; y, z = CH, N (provided that at least one of y and z = N); R1 = alkenyl, halo, CN, etc.; R2 = OH, CN, CO2H, etc.; R3, R4 = H, alkyl, perfluoroalkyl; or R3 and R4 are combined to form (CH2)t wherein one of the carbon atoms is optionally replaced by O, SOm, (un)substituted NHCO, N(COH); R5 = H, aryl, heterocyclyl, etc.; R6 = (un)substituted NH2, alkyl, perfluoroalkyl, etc.; n = 0-3; p = 0-2; t = 2-6; m = 0-2] and their salts which inhibit the activity of Akt, a serine/threonine protein kinase, were prepared E.g., a 3-step synthesis of N-[4-(3-phenylquinoxalin-2-yl)benzyl] propanesulfonamide (starting from 4-bromomethylbenzil and 1,2-diaminobenzene), was given. The exemplified compds. I were found to have IC50 of ≤ 50 μM against one or more of Akt1, Akt2 and Akt3. The invention is further directed to chemotherapeutic compns. containing the compds. I and methods for treating cancer comprising administration of the compds. I.

MSTR 1



G1 = 96-5 97-7



G2 = CH
G3 = 233

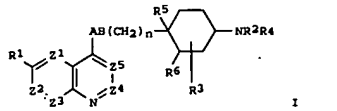
G4 = 50 / 61

L8 ANSWER 12 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:337959 MARPAT
TITLE: Preparation of nitrogen-containing bicyclic heterocycles for use as antibacterials
INVENTOR(S): Brooks, Gerald; Davies, David Thomas; Jones, Graham Elgin; Markwell, Roger Edward; Pearson, Neil David
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 163 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087098	A1	20031023	WO 2002-EP5708	20020524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2448525	AA	20031023	CA 2002-2448525	20020524
AU 2002367697	A1	20031027	AU 2002-367697	20020524
EP 1399443	A1	20040324	EP 2002-807202	20020524
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010016	A	20040615	BR 2002-10016	20020524
CN 1535272	A	20041006	CN 2002-814668	20020524
JP 2005519981	T2	20050707	JP 2003-584054	20020524
ZA 2003008696	A	20040521	ZA 2003-8696	20031107
US 2004171620	A1	20040902	US 2004-478154	20040406
PRIORITY APPLN. INFO.:			GB 2001-12834	20010525
			WO 2002-EP5708	20020524

GI

L8 ANSWER 12 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



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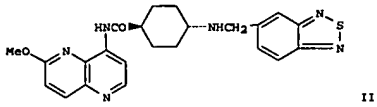


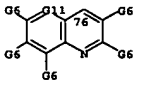
II

AB Naphthyridines I [one of Z1-Z5 = N, one = (un)substituted Ch, the others =
CH; one of Z1-Z5 = (un)substituted Ch, the others = CH; R1 = H, OH, halogen, (un)substituted alkoxy, alkyl, alkylthio, CF3, NO2, N3, acyl, acyloxy, acylthio, alkylsulfonyl, alkylsulfinyl, arylsulfonyl, arylsulfinyl, amino; R2 = H, (un)substituted alkyl, alkenyl; R3 = H, CO2H, alkoxycarbonyl, (un)substituted alkyl, CONH2, CN, tetrazolyl, 2-oxooxazolidinyl, 3-hydroxy-3-cyclobutene-1,2-dion-4-yl,2,4-thiazolidinedion-5-yl, 1,2,4-triazol-5-yl, 5-oxo-1,2,4-oxadiazol-3-yl; R4 = (un)substituted alkyl, heterocyclic; R5, R6 = H; R5R6 = bond; AB = (un)substituted CONH, NHCO, COCH2, CH2CO, OCH2, CH2O, NHCH2, CH2NH, NHSO2, CH2SO2, CH2CH2; n = 0, 1] were prepared for use as bactericides. Thus, 2,1,3-benzothiadiazole-5-carboxylic acid was reduced to the alc., mesylated, and treated with the amine fragment, prepared from 5-amino-2-methoxypyridine in 5 steps, to give the naphthyridine II, which had IC50 against Staphylococcus aureus Oxford, several S. pneumoniae strains, and Escherichia coli strains of ≤ 4 μg/mL.

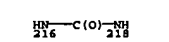
MSTR 1

G1 = 76

L8 ANSWER 12 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

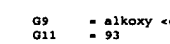


G4 = 216-1 218-126



G6 = 88

G9 = alkoxy <containing 1-6 C>
G11 = 93



Patent location: claim 1
Note: also incorporates claims 13, 14, and 15
Note: substitution is restricted
Note: additional ring formation also claimed

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 13 OF 33 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 138:153541 MARPAT
TITLE: Preparation of N-(1,5-naphthyridin-4-yl)piperidine-4-carboxamide derivatives as antibacterial agents
INVENTOR(S): Davies, David Thomas; Jones, Graham Elgin; Markwell, Roger Edward; Pearson, Neil David
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003010138	A2	20030206	WO 2002-EP8319	20020725
WO 2003010138	A3	20031204		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1419155	A2	20040519	EP 2002-764786	20020725
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005504747	T2	20050217	JP 2003-515497	20020725
US 2004198756	A1	20041007	US 2004-484563	20040524
PRIORITY APPLN. INFO.:			GB 2001-18238	20010726
			WO 2002-EP8319	20020725

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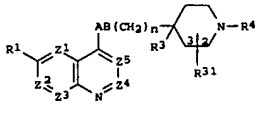
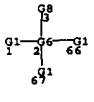


I

AB The title piperidine derivs. [I; one of Z1-Z5 is N, one is CR1a and the remainder are CH, or one or two of Z1-Z5 are independently CR1 a and the remainder are CH; R1, R1a = H, HO, C1-6 alkoxy optionally substituted by (un)substituted C1-6 alkoxy, amino, piperidyl, guanidino or amidino, C1-6 alkoxy-C1-6 alkyl, halo, C1-6 alkyl, C1-6 alkylthio, CF3, CF3O, etc.; R3 = CO2H, C1-6 alkoxycarbonyl, (un)substituted CONH2, cyano, tetrazolyl, (un)substituted 2-oxooxazolidinyl, 3-hydroxy-3-cyclobutene-1,2-dione-4-yl, 2,4-thiazolidinedione-5-yl, tetrazol-5-ylaminocarbonyl, (un)substituted 1,2,4-triazol-5-yl, 5-oxo-1,2,4-oxadiazol-3-yl, (un)substituted C1-4 alkyl or ethenyl, halogen, C1-6 alkylthio, CF3, C1-6 alkoxycarbonyl, C1-6 alkylcarbonyl, C2-6 alkenyloxycarbonyl, C2-6 alkenylcarbonyl, (un)substituted OH or NH2, etc.; R31 is in the 2- or 3-position and is hydrogen or a group listed above for R3, provided that R31 in the 2-position is not optionally substituted hydroxy, amino, trifluoromethyl or halogen; R4 = CH2R51, U-V-R52 (wherein R51 = C4-8 alkyl, hydroxy-C4-8 alkyl, C1-4 alkoxy-C4-8 alkyl, etc.; U = CO, SO2, CH2 and V = (un)substituted CH2; or U = CH2 and V = CO, (un)substituted C(:NOH), SO2; R52 = (un)substituted bicyclic carbocyclic or heterocyclic ring); n = 0,1; AB = (un)substituted NHCO, CONH, COCH2, CH2CO, OCH2, CH2O, NHCH2, CH2NH, NHSO2, CH2 SO2, CH2CH2] and pharmaceutically acceptable derivs. thereof are prepd. These compds. are useful in methods of treatment of bacterial infections in mammals, particularly man. Thus, 0.10 g 4-(6-methoxy-[1,5]naphthyridin-4-ylcarbamoyl)-4-methylpiperidine and 0.095 g 2-(3-Oxo-3,4-dihydro-2H-benzo[1,4]thiazin-6-yl)ethyl methanesulfonate were stirred with 138 mg K2CO3 in 2 mL DMF at room temp. for 3 days to give 4-methyl-1-[2-(3-oxo-3,4-dihydro-2H-benzo[1,4]thiazin-6-yl)ethyl]piperidine-4-carboxylic acid (6-methoxy-[1,5]naphthyridin-4-yl)amide (II). II oxalate showed min. inhibitory concn. of ≤4 μg/mL against Staphylococcus aureus Oxford, S. aureus WCUH29, S. pneumoniae 1629, S. pneumoniae N1387, S. pneumoniae ERY 2, Enterococcus faecalis I, E. faecalis 7, Haemophilus influenzae Q1, H. influenzae NEMC1, Moraxella catarrhalis 1502, and Escherichia coli 7623.

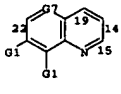
L8 ANSWER 13 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

MSTR 1



G1 = 7

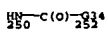
G2 = alkoxy <containing 1-6 C>
G6 = 22-1 19-3 14-66 15-67



G7 = 84

L8 ANSWER 13 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G17 = 250-2 252-4



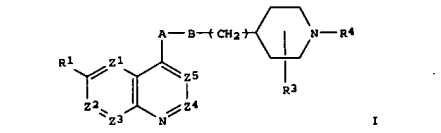
G34 = NH
Patent location: claim 1
Note: substitution is restricted
Note: additional ring formation also claimed
Note: also incorporates claim 13
Note: and precursors
Note: or pharmaceutically acceptable derivatives

L8 ANSWER 14 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:14011 MARPAT
TITLE: Preparation of bicyclic nitrogen-containing heterocyclic derivatives for use as antibacterials
INVENTOR(S): Dartois, Catherine Genevieve Yvette; Markwell, Roger Edward; Madler, Guy Marguerite Marie Gerard; Pearson, Neil David
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096907	A1	20021205	WO 2002-EP5709	20020524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1392686	A1	20040303	EP 2002-774022	20020524
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004534780	T2	20041118	JP 2003-500086	20020524
US 2004198755	A1	20041007	US 2004-477900	20040524
PRIORITY APPLN. INFO.:			GB 2001-12836	20010525
			WO 2002-EP5709	20020524

GI

L8 ANSWER 14 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



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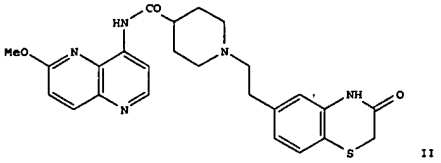


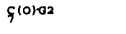
II

AB Piperidine derivs. and pharmaceutically acceptable derivs. [I; wherein one of Z1, Z2, Z3, Z4, Z5 = N, one is CR2 (wherein R2 = H, OH, (C1-C6)alkoxy, etc.) and the remainder are CH, or one of Z1, Z2, Z3, Z4, Z5 = CR2 and the remainder are CH; R3 = H, carboxy, (C1-C6)alkoxycarbonyl, aminocarbonyl, cyano, tetrazolyl, etc.; R4 = U-V-R5, wherein U-V = (CH2)2, CH2CH(OH), CH2CO, and R5 is a (substituted) bicyclic carbocyclic or heterocyclic ring system] were prepared For example, II was prepared by a multistep synthetic procedure. The prepared compds. are useful in the treatment of bacterial infections in mammals, particularly man. For example, compound II had MIC values ≤4 μg/mL against S. aureus Oxford.

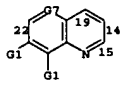
MSTR 1

G1 = 7

L8 ANSWER 14 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

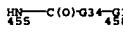


G2 = alkoxy <containing 1-6 C>
G6 = 22-1 19-3 14-66 15-67



G7 = 84

G17 = 455-2 458-4



G34 = NH
Patent location: claim 1
Note: substitution is restricted
Note: additional ring formation also claimed
Note: also incorporates claim 13
Note: and precursors
Note: or pharmaceutically acceptable derivatives

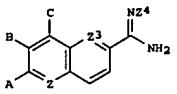
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L8 ANSWER 15 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 135:210841 MARPAT
TITLE: Preparation of naphthalenecarboximidamides as urokinase inhibitors
INVENTOR(S): Geyer, Andrew G.; McClellan, William J.; Rockway, Todd W.; Stewart, Kent D.; Weitzberg, Moshe; Wendt, Michael D.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S., 91 pp., Cont.-in-part of U.S. 6,258,822. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6284796	B1	20010904	US 1999-236254	19990125
US 6258822	B1	20010710	US 1998-129989	19980806
US 6504031	B1	20030107	US 2000-557792	20000425
PRIORITY APPLN. INFO.:			US 1998-129989	19980806
			US 1997-54982P	19970806
			US 1999-236254	19990125

GI



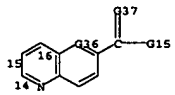
I

AB The title compds. [I; Z = N, CH, C(NR1R2); Z3 = CH, N; Z4 = H, OH; A, B, C = H, LR; L = a covalent bond, (CH2)m, NR1, etc.; R = aryl, arylalkoxy, alkyl, etc.; R1 = H, N-protecting group, alkyl, etc.; R2 = H, alkyl, alkenyl, etc.; m = 0-5], useful as inhibitors of urokinase, were prepared E.g., a 2-step synthesis of I [Z = CH; Z3 = CH; Z4 = H; A = H; B, C = MeO] as mono(trifluoroacetate) salt which showed IC50 of 6.6 μM against urokinase, was given.

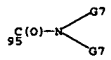
MSTR 1

G1 = alkoxycarbonyl <containing 1-6 C> (opt. substd.) / 89

G3 = 14-4 15-1 16-3



G8 = 95



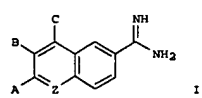
G36 = CH
Patent location: claim 1
Note: substitution is restricted
Note: additional substitution also claimed
Note: also incorporates broader disclosure
Note: or pharmaceutically acceptable salts or prodrugs

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

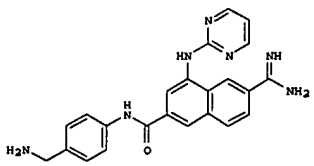
L8 ANSWER 16 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 135:92449 MARPAT
TITLE: Preparation of naphthalenecarboximidamides as urokinase inhibitors
INVENTOR(S): Geyer, Andrew G.; Mcclellan, William J.; Rockway, Todd W.; Stewart, Kent D.; Weitzberg, Moshe; Wendt, Michael D.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S., 75 pp. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6258822	B1	20010710	US 1998-129989	19980806
US 6284796	B1	20010904	US 1999-236254	19990125
US 6504031	B1	20030107	US 2000-557792	20000425
US 2001049374	A1	20011206	US 2001-850826	20010508
PRIORITY APPLN. INFO.:			US 1997-54982P	19970806
			US 1997-901040	19970725
			US 1998-129989	19980806
			US 1999-236254	19990125

GI



I



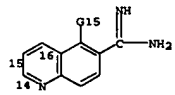
II

AB The title compds. [I; Z = N, CH, C(NR1R2); A, B, C = H, LR; L = a covalent bond, (CH2)m, NR1, NR2C(X)NR3, C(X), NR2C(X), C(X)NR2, CH:CH, C.tplbond.C, O, SOn, SO2NR2, NR2SO2, N:N, NR2CO2, OCONR2, etc.; R = aryl, arylalkoxy, (cyclo)alkyl, (cyclo)alkenyl, alkoxycarbonyl, alkynyl, halo, NR1R2, heterocyclyl, NR1CONR2NR3, etc.; R1 = H, N-protecting group, (ar)alkyl, alkenyl, alkynyl, aryl, or cycloalkyl(alkyl); R2 = H, C1-6 alkyl, C2-6 alkenyl, etc.; R2 and R3 = independently H, (ar)alkyl, alkenyl, alkynyl, aryl, or cycloalkyl(alkyl); X = O or S; m = 0-5; n = 0-2; or pharmaceutically acceptable salts thereof] were prepd. as urokinase inhibitors. For example, nitration of 6-cyano-2-naphthalenecarboxylic acid Me ester (71%), redn. of the nitro group (93%), substitution of the amine with 2-bromopyrimidine (93%), hydrolysis of the ester (90%), conversion of the carbonitrile to the Boc-protected carboxamide with tert-butoxycarbonylamino-4-aminomethylaniline over 3 steps, deprotection and workup afforded II•3TFA. In a urokinase inhibition assay, II•3TFA gave the best result with IC50 of 0.00068 μM.

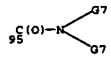
MSTR 1

G1 = alkoxycarbonyl <containing 1-6 C> (opt. substd.) / 89

G3 = 14-4 15-1 16-3



G8 = 95



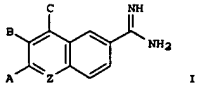
Patent location: claim 1
Note: substitution is restricted
Note: additional substitution also claimed
Note: also incorporates broader disclosure
Note: or pharmaceutically acceptable salts, esters, or prodrugs

L8 ANSWER 16 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 130:153476 MARPAT
TITLE: Preparation of naphthalenecarboximidamides as urokinase inhibitors
INVENTOR(S): Geyer, Andrew G.; McClellan, William J.; Rockway, Todd W.; Stewart, Kent D.; Weitzberg, Moshe; Wendt, Michael D.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 227 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9905096	A2	19990204	WO 1998-US15386	19980724
WO 9905096	A3	19990603		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9806594	A	19990127	ZA 1998-6594	19980723
CA 2294300	AA	19990204	CA 1998-2294300	19980724
AU 9885874	A1	19990216	AU 1998-85874	19980724
EP 1000018	A2	20000517	EP 1998-937082	19980724
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
JP 2002512636	T2	20020423	JP 1999-510121	19980724
BR 9811099	A	20020514	BR 1998-11099	19980724
BG 103981	A	20001130	BG 1999-103981	19991210
MX 9911868	A	20000531	MX 1999-11868	19991216
NO 9906578	A	20000125	NO 1999-6578	19991230
PRIORITY APPLN. INFO.:			US 1997-901040	19970725
			WO 1998-US15386	19980724

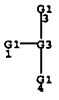
GI



I

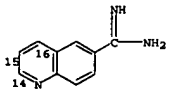
L8 ANSWER 17 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
AB The title compds. [I; Z = N, CH, C(NR1R2); A, B, C = H, LR; L = a covalent bond, (CH2)m, NR1, etc.; R = aryl, arylalkoxy, C1-6 alkyl, etc.; R1 = H, N-protecting group, C1-6 alkyl, etc.; R2 = H, C1-6 alkyl, C2-6 alkenyl, etc.; m = 0-5], useful as inhibitors of urokinase, were prepared E.g., a 2-step synthesis of I [Z = CH; A = H; B, C = MeO] as mono(trifluoroacetate) salt which showed IC50 of 6.6 μM against urokinase, was given.

MSTR 1

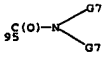


G1 = alkoxycarbonyl <containing 1-6 C> (opt. substd.) / 89

G3 = 14-4 15-1 16-3



G8 = 95



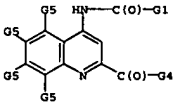
Patent location: claim 1
Note: substitution is restricted
Note: additional substitution also claimed

L8 ANSWER 18 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 129:131259 MARPAT
TITLE: 4-Urea-5,7-dichlorokynurenic acid derivative anticonvulsants, and preparation thereof
INVENTOR(S): Nichols, Alfred C.; Yielding, K. Lemone
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5783700	A	19980721	US 1997-887627	19970703
US 5914403	A	19990622	US 1998-103963	19980624
PRIORITY APPLN. INFO.:			US 1997-887627	19970703

AB Coupled to the N-methyl-D-aspartate (NMDA) receptor complex is a strychnine-insensitive binding site for glycine. Pharmacol. antagonism of glycine at this site may produce anticonvulsant activity. Twelve 4-urea-5,7-dichlorokynurenic acid derivs. were synthesized and subsequently screened in mice for anticonvulsant activity using MES, Met, and TTE tests, and a rotorod test was used to determine neurotoxicity. Seven of the derivs. had anticonvulsant activity in TTE testing at 100 mg/kg. One derivative had an ED50 value of 134 mg/kg in TTE testing. Two derivs. had MES activity. Only one derivative was neurotoxic in the rotorod test. Compds. were screened at a 10 uM concentration for activity in displacing 5,7-dichlorokynurenic acid from synaptosomal membrane fragments. Nine of the twelve compds. synthesized and tested have demonstrated anticonvulsant activity. Thus, compds. of the present invention should be usable for the treatment of epilepsy, neurodegenerative diseases, and other syndromes involving inhibition or excessive stimulation of the NMDA receptor complex.

MSTR 1



G1 = NH2
G4 = OEt
Patent location: claim 1

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 18 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

L8 ANSWER 19 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 129:69033 MARPAT
TITLE: Multicomponent system for altering, degrading, or bleaching lignin, lignin-containing materials, or similar substances, and method for its use
INVENTOR(S): Freudenreich, Johannes; Stohrer, Juergen; Amann, Manfred; Mueller, Robert
PATENT ASSIGNEE(S): Consortium fuer Elektrochemische Industrie G.m.b.H., Germany
SOURCE: Ger. Offen., 12 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

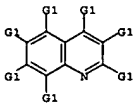
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19651099	A1	19980610	DE 1996-19651099	19961209
CA 2271937	AA	19980618	CA 1997-2271937	19971205
WO 9826127	A1	19980618	WO 1997-EP6802	19971205
W: AU, BR, CA, CN, JP, KR, NO, PL, RU, UA, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9855603	A1	19980703	AU 1998-55603	19971205
AU 719140	B2	20000504		
EP 943032	A1	19990922	EP 1997-952038	19971205
EP 943032	B1	20000913		
R: AT, DE, ES, SE, PT, FI				
CN 1240008	A	19991229	CN 1997-180387	19971205
BR 9714387	A	20000516	BR 1997-14387	19971205
JP 2000505844	T2	20000516	JP 1998-526185	19971205
RU 2154704	C1	20000820	RU 1999-114460	19971205
AT 196331	E	20000915	AT 1997-952038	19971205
ES 2150797	T3	20001201	ES 1997-952038	19971205
PT 943032	T	20001229	PT 1997-952038	19971205

PRIORITY APPLN. INFO.: DE 1996-19651099 19961209
WO 1997-EP6802 19971205

AB The title compns., especially useful in cellulose pulp manufacture, contain oxidants, mediators (hydroxylated heterocyclic amines bearing NO or SH groups or their derivs.), and optionally, oxidation catalysts. Adding 20 mL H2O containing 65.3 mg 8-hydroxy-5-nitrosoquinoline (acidified to pH 4.5) and 5 mL H2O containing 15 units of laccase (from Trametes versicolor) to 5 g (dry basis) delignified softwood pulp, kneading for 2 min, and holding in O at 45°/1-10 bar for 1-4 h gave pulp with lignin degradation 11.6%.

MSTR 2

L8 ANSWER 19 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G1 = CO2H / 31

G3 = CONH2
Derivative: and tautomers, salts, ethers or esters
Patent location: claim 1
Note: additional ring formation also claimed

L8 ANSWER 20 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 128:61437 MARPAT
TITLE: Preparation of substituted quinolylmethylenoxoindole analogs as tyrosine kinase inhibitors
INVENTOR(S): Battistini, Carlo; Ermoli, Antonella; Vioglio, Sergio; Buzzetti, Franco; Ballinari, Dario
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9746551	A1	19971211	WO 1997-EP2673	19970515
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 876365	A1	19981111	EP 1997-927035	19970515
R: DE, GB, IT				
JP 11510823	T2	19990921	JP 1997-500166	19970515
US 5905149	A	19990518	US 1998-983516	19980129

PRIORITY APPLN. INFO.: GB 1996-11797 19960606
WO 1997-EP2673 19970515

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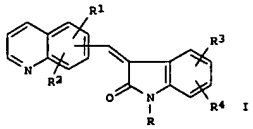

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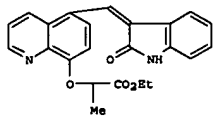
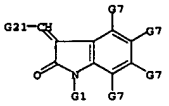


II

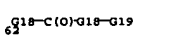
AB The title compds. [I; R1-R4 = X(CH2)mNH2, X(CH2)mNR5R6, etc.; R = H, (CH2)nCOR7, etc.; n = 1-4; m = 2-4; R5, R6 = H, C1-6 alkyl; R7 = (un)substituted amino acids, etc.] and the pharmaceutically acceptable salts thereof are prepared I, possessing tyrosine kinase inhibitory activity, are useful as immunomodulating agents, and antimetastatic and

L8 ANSWER 20 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
anticancer agents, or in the control of angiogenesis and atheromatous plaque, and treatment of Alzheimer's disease. Thus, 8-hydroxyquinoline-5-carbaldehyde was reacted with 2-oxoindole in the presence of piperidine and then reacted with MeCHBrCO2OEt in the presence of Bu4NF to give the title compd. (II), which showed IC50 of 39.5 μM against K562 cell growth in vivo. A formulation contg. I were also prepd.

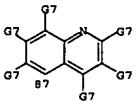
MSTR 1



G7 = 62 / CO2H



G18 = NH
G21 = 87



Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted

L8 ANSWER 21 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 127:324494 MARPAT
TITLE: Novel polyhalomethane compound and photosensitive material using it
INVENTOR(S): Okada, Hisashi
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09244177	A2	19970919	JP 1996-47205	19960305

PRIORITY APPLN. INFO.: JP 1996-47205 19960305
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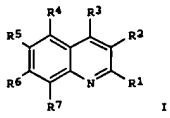
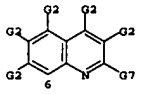


I

AB The polyhalomethane compound I (R1-7 = H, substituent; ≥1 of R2-7 = YCAX1X2; Y = CO, SO, SO2; X1-2 = halo; A = H, electron withdrawing group) is claimed. The photosensitive material contains ≥1 of I. The material shows high sensitivity, and gives low fog images with good gradation and storage stability.

MSTR 1

G1 = 6



G2 = NHCONH2 (opt. substd.)
G7 = CO2H
Patent location: claim 1

L8 ANSWER 21 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
Note: additional ring formation also claimed

L8 ANSWER 22 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 125:114487 MARPAT
TITLE: CNS-Active pyridinylurea derivatives
INVENTOR(S): Forbes, Ian Thomson; Jones, Graham Elgin
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9611930	A1	19960425	WO 1995-EP3944	19951005
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 788499	A1	19970813	EP 1995-934135	19951005
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, NL, SE				
JP 10508584	T2	19980825	JP 1995-512907	19951005
US 5866586	A	19990202	US 1997-817580	19970417

PRIORITY APPLN. INFO.: GB 1994-20999 19941018
WO 1995-EP3944 19951005
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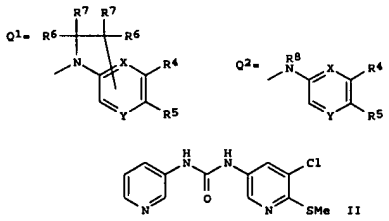


AB The invention relates to heterocyclic compds. R1-G-N(R2)-CO-R3 [I; G = Ph ring, quinoline or isoquinoline nucleus, or a 5- or 6-membered aromatic heterocycle containing 1-3 heteroatoms (N, O, and/or S); R1 = H, alkyl, alkylthio, cyano, NO2, halo, CF3, amino, etc.; R2 = H, alkyl; R3 = group Q1 or Q2; X = Y = N, or one of X and Y = N and the other = C or CH; R4, R5 = alkyl, alkoxy, OH, halo, NO2, (un)substituted Ph, etc.; or R4R5 forms (un)substituted 5-membered carbo- or heterocyclic ring; R6, R7, R8 = H, alkyl]. Compds. I are 5-HT2C receptor antagonists, and some or all of them are also 5-HT2B antagonists. They are useful in the treatment of a variety of CNS and GI disorders. For example, 5,6-dichloronicotinic acid underwent sulfurization in the 6-position by thiourea (87%) and S,O-dimethylation with MeI (50%) to give Me 3-chloro-2-(methylthio)pyridine-5-carboxylate. This was converted to the corresponding hydrazide (32%) and then the carbonyl azide (72%). The latter was decomposed in refluxing PhMe, and the intermediate isocyanate

L8 ANSWER 22 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
treated with 3-aminopyridine, to give 85% title compd. II. The three example compds. had pKi of 7.4-8.1 in a test for displacement of [3H]-mesulergine from rat or human 5-HT2C clones, expressed in 293 cells in vitro.

MSTR 1

G1—G6—C(O)-G8

G1 = quinolinyl (opt. substd. by (1) G2)
G2 = CO2H
G6 = NH
G13 = NH
Derivative: or salts
Patent location: claim 1
Note: additional ring formation specified

L8 ANSWER 23 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 124:146140 MARPAT
TITLE: Preparation of N-(3- and 5-isoxazolyl)biphenylsulfonamides as endothelin receptor liigands
INVENTOR(S): Chan, Ming F.; Raju, Bore G.; Castillo, Rosario S.; Kois, Adam; Wu, Chengde; Balaji, Vitukudi
PATENT ASSIGNEE(S): ImmunoPharmaceutics, Inc., USA
SOURCE: U.S., 17 pp. Cont.-in-part of U.S. Ser. No. 100, 565, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5464853	A	19951107	US 1993-142159	19931021
US 5591761	A	19970107	US 1994-222287	19940405
CA 2161346	AA	19941208	CA 1994-2161346	19940520
CA 2161346	C	20041123		
WO 9427979	A1	19941208	WO 1994-US5755	19940520
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US, US, US, US, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9469646	A1	19941220	AU 1994-69646	19940520
AU 691813	B2	19980528		
GB 2285625	A1	19950719	GB 1995-3693	19940520
GB 2285625	B2	19971210		
EP 699191	A1	19960306	EP 1994-918081	19940520
EP 699191	B1	19981216		
R: AT, BE, CH, DE, DK, ES, FR, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5571821	A	19961105	US 1994-247072	19940520
JP 08510744	T2	19961112	JP 1995-500856	19940520
EP 870764	A1	19981014	EP 1998-109339	19940520
R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 174592	E	19990115	AT 1994-918081	19940520
ES 2127397	T3	19990416	ES 1994-918081	19940520
RU 2151144	C1	20000620	RU 1995-121744	19940520
EP 1069114	A2	20010117	EP 2000-119107	19940520
EP 1069114	A3	20010131		
R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE, MC, PT, IE				
US 5594021	A	19970114	US 1995-477223	19950606
US 5962490	A	19991005	US 1996-721183	19960927
US 6030991	A	20000229	US 1996-730633	19961206
AU 9860585	A1	19980604	AU 1998-60585	19980331
AU 724575	B2	20000928		
US 6331637	B1	20011218	US 1999-274280	19990322
AU 9935803	A1	19990916	AU 1999-35803	19990622
AU 726595	B2	20001116		
US 2001036958	A1	20011101	US 2000-749716	20001227

L8 ANSWER 23 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
US 6541498 B2 20030401
PRIORITY APPLN. INFO.:

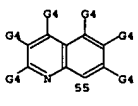
US 1993-65202	19930520
US 1993-100125	19930730
US 1993-100565	19930730
US 1987-100865	19870925
US 1990-416199	19900515
US 1993-142159	19931021
US 1993-142552	19931021
US 1993-142631	19931021
US 1994-222287	19940405
EP 1994-918081	19940520
EP 1998-109339	19940520
US 1994-247072	19940520
WO 1994-US5755	19940520
US 1995-416199	19950404
US 1995-417075	19950404
US 1995-477223	19950606
AU 1996-55367	19960404
WO 1996-US4759	19960404
US 1996-721183	19960927
US 1996-730633	19961206
US 1999-439802	19991112

AB R2SO2NHR1 [I; R1 = (un)substituted aryl; R2 = alkenyl, (un)substituted phenyl(alkyl), (un)substituted PhCH:CH, etc.] were prepared Thus, 5-amino-3,4-dimethylisoxazole was amidated by 4-PhC6H4SO2Cl to give N-(3,4-dimethyl-5-isoxazolyl)-4-biphenylsulfonamide;. I had IC50 of <100μM against ligand binding at endothelin ETA and ETB receptors in vitro.

MSTR 3

G1—SO2—NH—G3

G3 = 55



G4 = CO2H / NHCONH2 (opt. substd.)
Patent location: disclosure
Note: substitution is restricted
Note: additional ring formation allowed

L8 ANSWER 24 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 122:72046 MARPAT
TITLE: Medicaments for treatment of migraine, epilepsy and feeding disorders
INVENTOR(S): Blackburn, Thomas Paul; Kennett, Guy Anthony; Baxter, Gordon Smith
PATENT ASSIGNEE(S): SmithKline Beecham PLC, UK
SOURCE: PCT Int. Appl., 12 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9425012	A2	19941110	WO 1994-EP1240	19940420
WO 9425012	A3	19941222		
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9465697	A1	19941121	AU 1994-65697	19940420
ZA 9402809	A	19951023	ZA 1994-2809	19940422
PRIORITY APPLN. INFO.:			GB 1993-8802	19930428
			WO 1994-EP1240	19940420

AB Indoles such as 1-[5-(2-thienylmethoxy)-1H-indol-3-yl]propan-2-amine are used in the treatment and prevention of epilepsy and migraine.

MSTR 1

G1—G3—C(=O)—G3—G5

G1 = quinolinyl (opt. substd. by (1) G2)
G2 = CO2H
G3 = NH
Derivative: or pharmaceutically acceptable salts
Patent location: claim 2
Note: substitution is restricted

L8 ANSWER 25 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 122:42827 MARPAT
TITLE: Photothermographic materials.
INVENTOR(S): Kirk, Mark P.; Mott, Andrew W.
PATENT ASSIGNEE(S): Minnesota Mining and Manufacturing Co., USA
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 605981	A1	19940713	EP 1993-310237	19931217
EP 605981	B1	19960221		
R: BE, DE, ES, FR, GB, IT, NL				
CA 2111494	AA	19940707	CA 1993-2111494	19931215
US 5374514	A	19941220	US 1993-168994	19931217
ES 2083829	T3	19960416	ES 1993-310237	19931217
JP 07005621	A2	19950110	JP 1993-353823	19931228
CN 1089943	A	19940727	CN 1993-112729	19931229
BR 9400029	A	19940802	BR 1994-29	19940105
US 5432287	A	19950711	US 1994-296729	19940826
PRIORITY APPLN. INFO.:			GB 1993-147	19930106
			US 1993-168994	19931217

GI

I

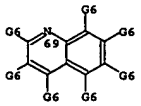
AB A compound is described of the formula I in which R represents a H atom, an
alkyl group, an aryl group or a heterocyclic group, any of which groups may be substituted. The compds. find utility as antifoggants and image stabilizers in photothermog. materials.

MSTR 2

G1 H—Br Br—Br

G1 = 69

L8 ANSWER 25 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

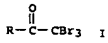


G6 = NHCONH2 / alkoxycarbonyl <containing up to 14 C>
Patent location: claim 7

L8 ANSWER 26 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 121:167055 MARPAT
TITLE: Photothermographic imaging materials and antifoggants therefor.
INVENTOR(S): Oliff, David B.; Kirk, Mark P.
PATENT ASSIGNEE(S): Minnesota Mining and Manufacturing Co., USA
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 600587	A1	19940608	EP 1993-307740	19930929
EP 600587	B1	19960214		
R: DE, FR, GB, IT				
US 5939248	A	19990817	US 1993-126331	19930924
JP 06202268	A2	19940722	JP 1993-252998	19931008
PRIORITY APPLN. INFO.:			GB 1992-21383	19921012

GI



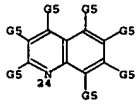
I

AB A photothermog. material having a photosensitive medium comprising: photosensitive Ag halide, a reducible Ag source, a reducing agent for Ag ion, a hydrobromic acid salt of a N-containing heterocyclic ring or fused ring
nucleus associated with a pair of bromine atoms characterized in that the photosensitive medium addnl. comprises as antifoggant, substantially in the absence of an antifoggant amount of Hg and other heavy metal salts, a tribromomethyl ketone compound of a general formula I (R = alkyl, aryl, a carbocyclic ring or fused ring nucleus, heterocyclic ring or fused ring nucleus).

MSTR 2

G1 H—Br Br—Br

G1 = 24



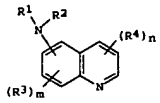
G5 = NHCONH2 / alkoxycarbonyl <containing up to 14 C>
Patent location: claim 7

L8 ANSWER 26 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
Note: substitution is restricted

L8 ANSWER 27 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 120:334755 MARPAT
TITLE: Color developer composition and photographic processing using same
INVENTOR(S): Fujimoto, Hiroshi; Morimoto, Kyoshi; Furusawa, Genichi; Myashita, Yosuke; Taniguchi, Masato
PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05188551	A2	19930730	JP 1992-170973	19920629
PRIORITY APPLN. INFO.:			JP 1991-197297	19910712

GI



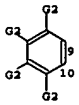
I

AB The title color developer composition contains as additive ≥1 I [R1-4 = H, alkyl, aryl, aralkyl, halo, OH, NH2, alkoxy, COOH, SO3H, PO(OH)2, NO2, CN, heterocyclyl, carbamoyl, sulfamoyl, acyl, acylamino, alkylsulfonyl amino, arylsulfonyl amino, alkoxycarbonyl, ureido; R1,R2 may join to form a ring; m,n = 0-3]. Precipitation of the components of the above composition does not occur during processing, the volume of the processing waste solution is reduced, and the developer solution is stable.

MSTR 1

G1 = 9-4 10-2

L8 ANSWER 27 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

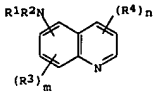


G6 = CO2H / NHCONH2
Patent location: claim 1

L8 ANSWER 28 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 120:120563 MARPAT
TITLE: Method for processing silver halide color photographic material
INVENTOR(S): Fujimoto, Hiroshi; Morimoto, Kyoshi; Furusawa, Genichi; Myashita, Yosuke
PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05027394	A2	19930205	JP 1991-202258	19910718
PRIORITY APPLN. INFO.:			JP 1991-202258	19910718

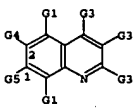
GI



I

AB In the title method involving the color development, desilvering, and washing or stabilization of a silver halide photog. material, the color developing solution contains one or more compds. represented by I. For I,
R1-R4 = H, alkyl, aryl, hydroxy, etc., R1 and R2 may together from a ring;
m, n = 0 to 3. The amount of replenishing solution for washing or stabilizing the photog. material is 3 to 50 times that of the amount of liquid brought from the preceding bath. The title method is economical and gives stable images.

MSTR 1



G3 = CO2H / NHCONH2
Patent location: claim 1
Note: substitution is restricted

L8 ANSWER 28 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
Note: additional ring formation possible

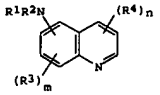
L8 ANSWER 29 OF 33 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 120:120562 MARPAT
TITLE: Method for processing silver halide color photographic material
INVENTOR(S): Furusawa, Genichi; Myashita, Yosuke; Fujimoto, Hiroshi; Morimoto, Kyoshi
PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05027395	A2	19930205	JP 1991-203633	19910719

PRIORITY APPLN. INFO.: JP 1991-203633 19910719

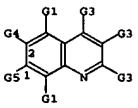
GI



I

AB The title method involves the treatment of the title material with a color developing solution containing a hydroxylamine derivative and a quinoline derivative represented by I. For I, R1-R4 = H, alkyl, aryl, etc.; or R1 and R2 may together form a ring; m, n = 0 to 3. The title method is economical.

MSTR 1



G3 = CO2H / NHCONH2
Patent location: claim 1
Note: substitution is restricted
Note: additional ring formation possible

L8 ANSWER 29 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

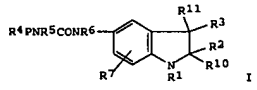
L8 ANSWER 30 OF 33 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 120:77171 MARPAT
TITLE: Preparation of indolylurea derivatives as antagonists
INVENTOR(S): Forbes, Ian Thomson; Martin, Roger Thomas; Jones, Graham Elgin
PATENT ASSIGNEE(S): SmithKline Beecham PLC, UK
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

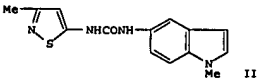
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9318028	A1	19930916	WO 1993-GB449	19930304
W: AU, CA, JP, KR, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9336411	A1	19931005	AU 1993-36411	19930304
EP 630373	A1	19941228	EP 1993-905507	19930304
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 07504429	T2	19950518	JP 1993-515449	19930304
ZA 9301713	A	19940922	ZA 1993-1713	19930310
US 5508288	A	19960416	US 1994-295694	19940830

PRIORITY APPLN. INFO.: GB 1992-5415 19920312
GB 1992-5416 19920312
GB 1992-5422 19920312
GB 1992-5442 19920312
WO 1993-GB449 19930304

GI



I

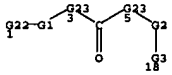


II

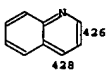
AB Title compds. I (P = quinolinyl, isoquinolyl, 5,6-membered heterocyclyl; R1 = H, C1-6 alkyl; R2, R3, R10, R11 = C2-6 alkylene; R4 = H, C1-6 alkyl, halo, R8R9N, R12O, R12O2C wherein R8, R9, R12 = H, C1-6 alkyl; R5, R6 = H, C1-6 alkyl; R7 = H, C1-6 alkyl, C1-6 alkoxy, halo; etc.) or a salt thereof, are prepared to NaH was added 5-amino-3-methylbisthiazole-HCl followed by N-(1-methyl-5-indolyl)carbamate (preparation given) to give the title compound II. The affinity of II for 5-HT1C binding site by assessing its ability to displace [3H]-mesulergine from 5-HT1C binding sites was shown by pA2 as 7.9.

L8 ANSWER 30 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

MSTR 1A



G1 = 426-1 428-3



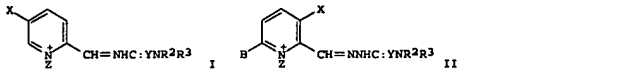
G22 = CO2H
G23 = NH
Derivative: or salts or N-oxides
Patent location: claim 1

L8 ANSWER 31 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 119:8688 MARPAT
TITLE: Preparation of quaternary pyridinium compounds as inhibitors of acetylcholinesterase
INVENTOR(S): Powers, James C.; May, Sheldon W.; Hernandez, Maria A.; Thornton, Steve; Glinski, Jan
PATENT ASSIGNEE(S): Georgia Tech Research Corp., USA
SOURCE: U.S., 23 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5180831	A	19930119	US 1990-565520	19900810
US 5206371	A	19930427	US 1992-892222	19920602
US 5290942	A	19940301	US 1993-6367	19930119
WO 9324459	A1	19931209	WO 1993-US5252	19930602
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 643697	A1	19950322	EP 1993-915188	19930602
R: AT, BE, DE, DK, FR, GB, IE, IT, LU, MC, NL, PT, SE				

PRIORITY APPLN. INFO.: US 1990-565520 19900810
US 1992-892222 19920602
WO 1993-US5252 19930602

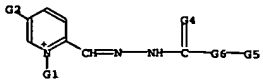
GI



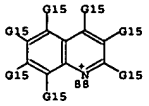
AB Title compds. I (Z = (substituted) C1-6 alkyl; X = HO, (substituted) C1-6-alkyl-NHCO2, etc.; Y = O, S; R2, R3 = H, (substituted) C1-6 alkyl, Ph, etc.) and II (Z, Y, X, R2, R3 as above; B = H, C1-6 alkyl) and a counter ion, useful also for prophylaxis and treatment of organophosphate poisoning, are prepared To NaOAc in H2O was added H2NCONHNH2.HCl followed by 3-hydroxy-2-pyridinealdehyde to give 2-[[(aminocarbonyl)hydrazono]methyl]-3-hydroxypyridine which was treated with MeI to give the methiodide salt which in H2O was treated with AgCl to give II (Z = Me, X = HO, B = H, Y = O, R2 = R3 = H, Cl as the counterion). The title compds. showed cholinesterase activity in vitro and good activity in vivo as prophylactics and antidotes.

MSTR 3A

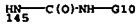
L8 ANSWER 31 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G5 = B8



G15 = CO2H / 145



Derivative: and pharmaceutically acceptable salts
Patent location: claim 2

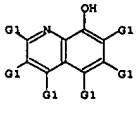
L8 ANSWER 32 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 116:72149 MARPAT
TITLE: Photoimaging method using heat-developable materials
INVENTOR(S): Komamuradai, Kazuyoshi; Takiyama, Nobuyuki
PATENT ASSIGNEE(S): Konica Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03116045	A2	19910517	JP 1989-256079	19890928

PRIORITY APPLN. INFO.: JP 1989-256079 19890928

AB The method involves heat development using materials containing transition metal salts and agent that lowers medium pH by complexation with transition metal ions. The use of this acid-generating system for pH control at heat development increases the storage stability of the materials, provide images with high d. and low fog., and processing with wide latitude in development. Thus, a photosensitive material was prepared by coating a PET film with a composition containing benzotriazole Ag salt, green-sensitive Ag halide emulsion, reducing agent, polymeric dye precursor, antistaining agent, development inhibitor, gelatin, poly(vinyl pyrrolidone), heat-melting solvent, CoSO4.7H2O (0.3 g/m2), benztriazole, and high-boiling solvents. An image receptor was prepared by coating a paper with PVC containing a complexing agent PhCOCH2COMe (I, 0.05 g/m2) and other agents. Sensitometrically exposed photosensitive material was superposed with the receptor paper and heated at 150° for 1 min, to obtain image with maximum d. 2.08, min. d. 0.11, and pH of unexposed part 5.6. When an image receptor not containing I was used, maximum d. was 1.15, min. d. was 0.06, and pH was 6.4.

MSTR 2



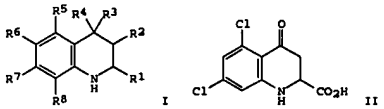
G1 = NHCONH2 / CO2H
Patent location: disclosure

L8 ANSWER 33 OF 33 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 114:247156 MARPAT
TITLE: Preparation of tetrahydroquinolinecarboxylates for treatment of neurodegenerative disorders
INVENTOR(S): Baker, Raymond; Carling, William R.; Leeson, Paul D.; Smith, Julian D.
PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
SOURCE: Eur. Pat. Appl., 102 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 386839	A2	19900912	EP 1990-200499	19900302
EP 386839	A3	19911023		
EP 386839	B1	19970115		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 147732	E	19970215	AT 1990-200499	19900302
ZA 9001706	A	19910227	ZA 1990-1706	19900306
CA 2011686	AA	19900908	CA 1990-2011686	19900307
NO 9001082	A	19900910	NO 1990-1082	19900307
AU 9051144	A1	19900913	AU 1990-51144	19900307
JP 03034969	A2	19910214	JP 1990-57811	19900308
US 5231102	A	19930727	US 1991-719423	19910624

PRIORITY APPLN. INFO.: GB 1989-5334 19890308
GB 1989-26431 19891122
US 1990-487477 19900302

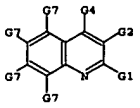
GI



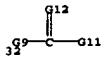
AB The title compds. [I; R1 = acidic group or group convertable thereto in vivo; R2 = H, hydrocarbyl; R3 = hydrocarbyl, (hydrocarbyl-substituted) OH, SH, NH2, NHCHO, NHCO2H, NHSO2H, CO2H, CONH2, etc.; R4 = H, groups cited for R3; R3R4 = O, S, (hydrocarbyl-substituted) NH, NOH, atoms to complete a (heterocyclic) ring; R5-R8 = H, hydrocarbyl, halo, cyano, CF3, NO2, etc.] were prepared as NMDA receptor-antagonizing antineurodegenerative agents (no data). Thus, 3,5-Cl2C6H3NH2 was condensed with MeO2CC.tplbond.CCO2Me and the product converted in 2 steps to 3,5-Cl2C6H3N(Ac)CH(CO2Me)CH2CO2Me which was cyclized to title compound II.

MSTR 2

L8 ANSWER 33 OF 33 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G1 = CO2H (opt. substd.)
G4 = 32



G9 = NH (opt. substd.)
G11 = NH2 (opt. substd.)
G12 = O
Patent location: claim 11

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L1              STRUCTURE UPLOADED
L2            0 S L1 SAM
L3           14 S L1 FULL

     FILE 'CA' ENTERED AT 09:40:34 ON 08 FEB 2006
L4            6 S L3

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                S L1

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L5            0 S L1

     FILE 'CAOLD' ENTERED AT 09:41:01 ON 08 FEB 2006
L6            0 S L5
L7            0 S L3 FULL

     FILE 'MARPAT' ENTERED AT 09:41:15 ON 08 FEB 2006
L8           33 S L1 FULL

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---Logging off of STN---


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Executing the logoff script...


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STN INTERNATIONAL LOGOFF AT 09:42:47 ON 08 FEB 2006
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